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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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ON is NOV 2001

=> fil reg

COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 10:32:07 ON 13 NOV 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 11 NOV 2001 HIGHEST RN 368856-38-6
DICTIONARY FILE UPDATES: 11 NOV 2001 HIGHEST RN 368856-38-6

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER see HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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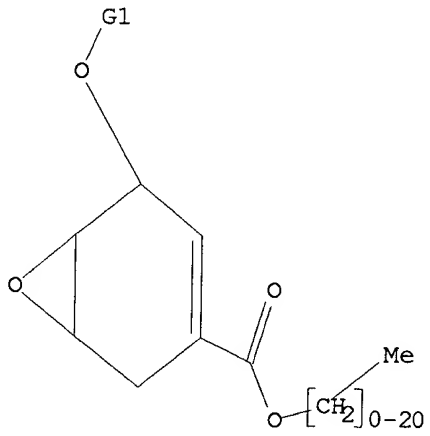
L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS
L1 STR



G1 H,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SCREEN SEARCH COMPLETED - 17523 TO ITERATE

5.7% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 342558 TO 358362
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:32:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 353579 TO ITERATE

100.0% PROCESSED 353579 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.09

L3 20 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	133.87	134.02

FILE 'CAPLUS' ENTERED AT 10:33:13 ON 13 NOV 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1947 - 13 Nov 2001 VOL 135 ISS 21
FILE LAST UPDATED: 12 Nov 2001 (20011112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

L4 34 L3

=> s l4 and neuraminidase?

10146 NEURAMINIDASE?
L5 7 L4 AND NEURAMINIDASE?

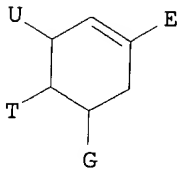
=> d l5 1-7 ibib abs hitstr

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:582659 CAPLUS
DOCUMENT NUMBER: 131:228949
TITLE: Preparation of amino acid cyclitols as antiviral agents and **neuraminidase** inhibitors
INVENTOR(S): Bischofberger, Norbert W.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Williams, Matthew A.
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 580,567, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5952375	A	19990914	US 1996-606624	19960226
US 5866601	A	19990202	US 1995-476946	19950606
TW 426663	B	20010321	TW 1996-85107487	19960621
US 6225341	B1	20010501	US 1999-288091	19990408

PRIORITY APPLN. INFO.:
US 1995-395245 B2 19950227
US 1995-476946 A2 19950606
US 1995-580567 B2 19951229
US 1996-12299 P 19960226
US 1996-606624 A 19960226
WO 1996-US2882 W 19960226
US 1996-653034 A 19960524

OTHER SOURCE(S): MARPAT 131:228949
GI



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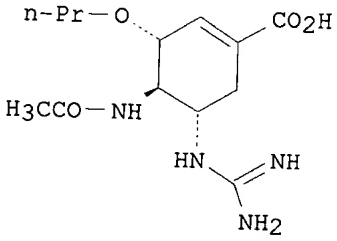
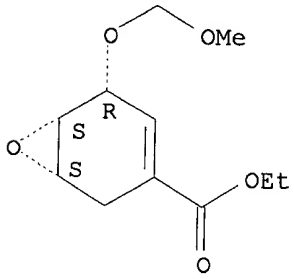


II

AB Amino acid cyclitols I (E = CO2H, ester; G = substituted amine; T = amide;
U = alkoxy, thioalkyl, allkylamine) were prepd. as virucides. Methods of inhibiting **neuraminidase** in samples suspected of contg. **neuraminidase** are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting **neuraminidase** activity are also described. Thus, cyclitol II.TFA was prepd. and tested for its antiviral

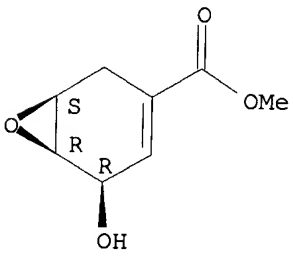
IT **221386-93-2**
RL: RCT (Reactant)
(prepn. of amino acid cyclitols as influenza antiviral agents and **neuraminidase** inhibitors)
RN 221386-93-2 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, ethyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



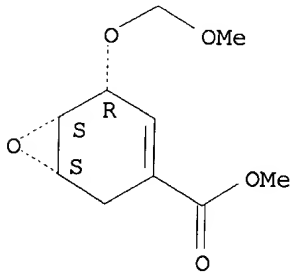
IT **76985-84-7P 187226-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amino acid cyclitols as influenza antiviral agents and **neuraminidase** inhibitors)
RN 76985-84-7 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester,
(1S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 187226-87-5 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 94
REFERENCE(S): (3) Anon; WO 9116320 1991 CAPLUS

(6) Anon; EP 0539204 A1 1992 CAPLUS
(7) Anon; WO 9206691 1992 CAPLUS
(8) Anon; WO 9312105 1993 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:404916 CAPLUS
DOCUMENT NUMBER: 131:44605
TITLE: Preparation of cyclohexenecarboxylates as **neuraminidase** inhibitors
INVENTOR(S): Kim, Choung U.; Lew, Willard
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931047	A1	19990624	WO 1998-US26327	19981210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9811314	A	19990614	ZA 1998-11314	19981210
AU 9917226	A1	19990705	AU 1999-17226	19981210
US 6111132	A	20000829	US 1998-208646	19981210
EP 1040095	A1	20001004	EP 1998-962059	19981210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1997-69553 P 19971212
WO 1998-US26327 W 19981210

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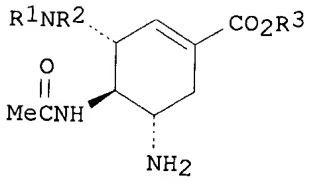


I

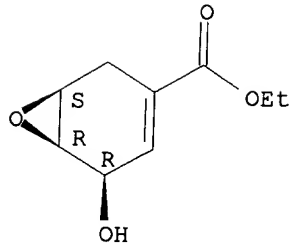
AB The title compds. I [R1, R2,, and R3 as defined], **neuraminidase** inhibitors, were prepd. E.g., I (R1 = H, R2 = CHEt2, R3 = K) was prepd.

IT **182367-90-4P 227599-99-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cyclohexenecarboxylates as **neuraminidase** inhibitors)

RN 182367-90-4 CAPLUS

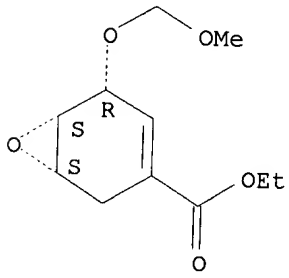
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, ethyl ester, (1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 227599-99-7 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, ethyl ester, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



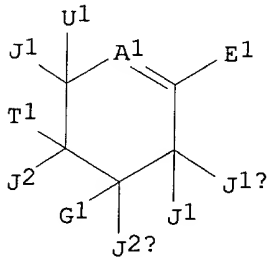
REFERENCE COUNT: 1
REFERENCE(S): (1) Biota Scient Management; WO 9116320 A 1991 CAPLUS

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:216889 CAPLUS
DOCUMENT NUMBER: 130:237807
TITLE: Preparation of antiviral unsaturated aminodeoxy cyclitols as **neuraminidase** inhibitors
INVENTOR(S): Bischofberger, Norbert W.; Dahl, Terrence C.; Hitchcock, Michael J. M.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Mills, Roger G.; Williams, Matthew A.
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 390 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9914185	A1	19990325	WO 1998-US19355	19980915
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9895694	A1	19990405	AU 1998-95694	19980915
EP 1015417	A1	20000705	EP 1998-949356	19980915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2001516739	T2	20011002		JP 2000-511738		19980915
ZA 9808451	A	19990331		ZA 1998-8451		19980916
PRIORITY APPLN. INFO.:			US	1997-59308	P	19970917
			US	1997-60195	P	19970926
			US	1997-938644	A	19970926
			WO	1998-US19355	W	19980915

OTHER SOURCE(S): MARPAT 130:237807
GI



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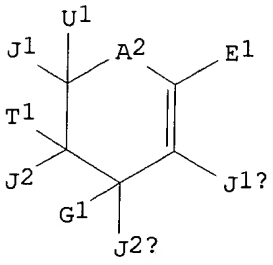
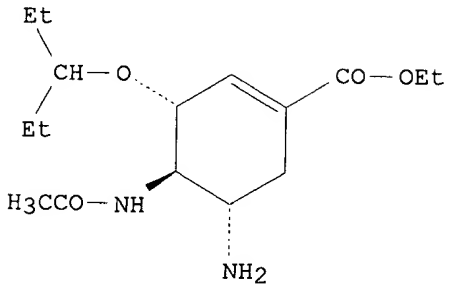


II



III

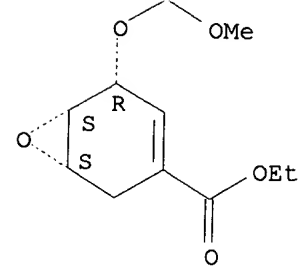
AB Unsatd. aminodeoxy cyclitols I and II [A1 = CJ1, n, NO; A2 = C(J1)2, NJ1, NOJ1, S, SO, SO2, O; E1 = substituted alkyl, ester; G1 = NH2, N3, CN, OH, alkoxy, NO2, substituted alkyl; T1 = amine, H, acyl amide, halo, CN, nitro, alkoxy, sulfonyl; U1 = H, acyl amide, halo, CN, nitro, alkoxy, sulfonyl; J1, J1a = independently H, alkyl, halo, CN, NO2, N3; J2, J2a = independently H, alkyl] were prepd. as **neuraminidase** inhibitors. The compds. generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Methods of inhibiting **neuraminidase** in samples suspected of contg. **neuraminidase** are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting **neuraminidase** activity are also described. Thus cyclitol III was prepd. and tested for its inhibition of **neuraminidase**.

IT **221386-93-2**
RL: RCT (Reactant)
(prepn. of antiviral unsatd. aminodeoxy cyclitols as **neuraminidase** inhibitors)

RN 221386-93-2 CAPLUS

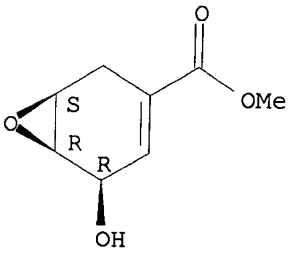
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, ethyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



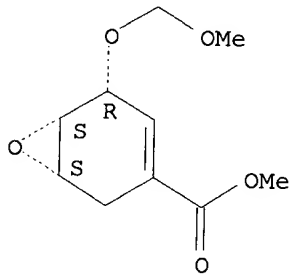
IT **76985-84-7P 187226-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antiviral unsatd. aminodeoxy cyclitols as **neuraminidase** inhibitors)
RN 76985-84-7 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester,
(1S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 187226-87-5 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15
REFERENCE(S):
(1) Anon; US 5360817 A CAPLUS
(2) Anon; WO 9116320 A CAPLUS
(3) Biota Scientific Management Pty Ltd; WO 9206691 A 1992 CAPLUS
(4) Biota Scientific Management Pty Ltd; EP 0786458 A 1997 CAPLUS
(5) Bischofberger, N; US 5763483 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:90319 CAPLUS
DOCUMENT NUMBER: 130:153408

Aminocyclohexenecarboxylates as **neuraminidase** inhibitors

INVENTOR(S): Lew, Willard; Kim, Choung U.; Liu, Hongtao; Williams, Matthew A.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 395,245, abandoned.
CODEN: USXXAM

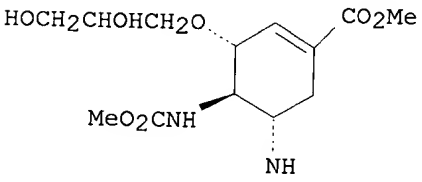
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866601	A	19990202	US 1995-476946	19950606
CA 2188835	AA	19960906	CA 1996-2188835	19960226
WO 9626933	A1	19960906	WO 1996-US2882	19960226
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653571	A1	19960918	AU 1996-53571	19960226
AU 720933	B2	20000615		
EP 759917	A1	19970305	EP 1996-912404	19960226
EP 759917	B1	20000412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1147813	A	19970416	CN 1996-190133	19960226
BR 9607098	A	19971104	BR 1996-7098	19960226
JP 11501908	T2	19990216	JP 1996-526442	19960226
US 5952375	A	19990914	US 1996-606624	19960226
EP 976734	A2	20000202	EP 1999-117934	19960226
EP 976734	A3	20000322		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 191711	E	20000415	AT 1996-912404	19960226
ES 2118674	T3	20000816	ES 1996-912404	19960226
NO 9703908	A	19971027	NO 1997-3908	19970826
US 6225341	B1	20010501	US 1999-288091	19990408

PRIORITY APPLN. INFO.:
US 1995-395245 B2 19950227
US 1995-476946 A 19950606
US 1995-580567 A 19951229
EP 1996-912404 A3 19960226
US 1996-606624 A3 19960226
WO 1996-US2882 W 19960226

OTHER SOURCE(S): MARPAT 130:153408

GI



I

AB Novel aminocyclohexenecarboxylates, such as I, are described. The compds.
generally comprise an acidic group, a basic group, a substituted amino or

Pharmaceutical compns. comprising the inhibitors of the invention are also described. Methods of inhibiting **neuraminidase** in samples suspected of contg. **neuraminidase** are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting **neuraminidase** activity are also described.

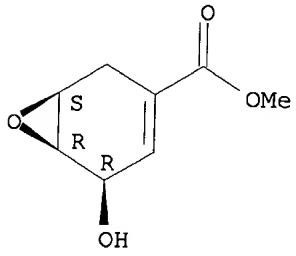
IT **76985-85-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (reactant for prepn. of aminocyclohexenecarboxylates as **neuraminidase** inhibitors)

RN 76985-85-8 CAPLUS

CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester, (1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 50

REFERENCE(S):

(3) Anon; WO 9116320 1991 CAPLUS
(5) Anon; EP 0534216 A1 1992 CAPLUS
(6) Anon; EP 0539204 A1 1992 CAPLUS
(7) Anon; WO 9206691 1992 CAPLUS
(8) Anon; WO 9312105 1993 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:397793 CAPLUS

DOCUMENT NUMBER: 129:54135

TITLE: Preparation of aminocyclohexenylcarboxylates and related compounds as **neuraminidase** inhibitors.

INVENTOR(S): Bischofberger, Norbert W.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Williams, Matthew A.

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: U.S., 74 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

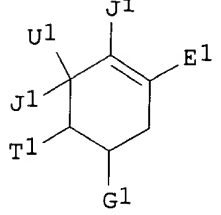
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763483	A	19980609	US 1996-774345	19961227

OTHER SOURCE(S): MARPAT 129:54135

GI



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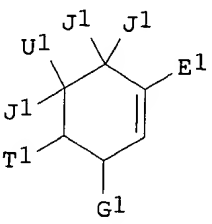

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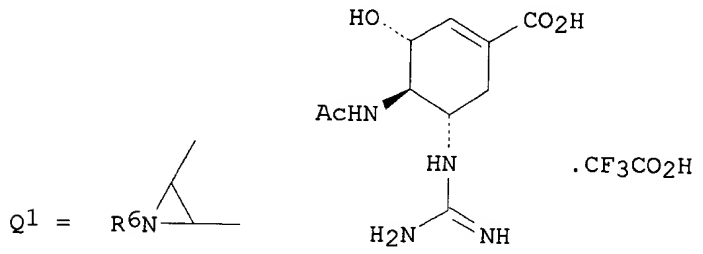


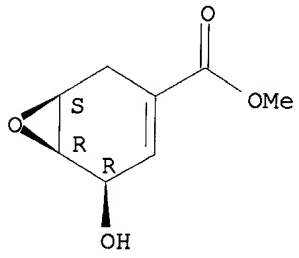
III

AB Title compds. [I, II; E1 = [(CR1)2]mW1; W1 = group comprising an acidic H,
protected acidic group, etc.; G1 = N3, CN, OH, OR5, NO2, [(CR1)2]mW2; R5 =
H, protecting group; W2 = group comprising a basic heteroatom, etc.; T1 = NR1W3, heterocyclyl; W3 = (substituted) alkyl, alkenyl, alkynyl, acyl, heterocyclyl, etc.; T1U1 or T1G1 = Q1; U1 = H, X1W6; X1 = bond, O, imino, S, SO, SO2, etc.; W6 = (substituted) alkyl, alkenyl, alkynyl, acyl, amino,
aminocarbonyl, etc.; J1 = H, F, Cl; R1 = H, alkyl; R6 = H, protecting group, residue of carboxyl-contg. compd.; m = 0-2; with provisos], were prepd. Thus, title compd. (III) (prepn. given) inhibited **neuraminidase** with IC50 <1.0 .mu.M.

IT **76985-84-7P 187226-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminocyclohexenylcarboxylates and related compds. as **neuraminidase** inhibitors)

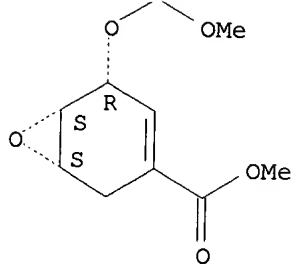
RN 76985-84-7 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester,
(1S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

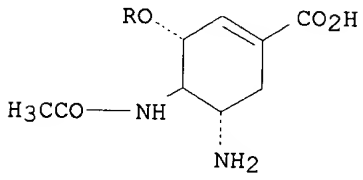


RN 187226-87-5 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:21109 CAPLUS
DOCUMENT NUMBER: 126:171813
TITLE: Influenza **Neuraminidase** Inhibitors Possessing a Novel Hydrophobic Interaction in the Enzyme Active Site: Design, Synthesis, and Structural Analysis of Carbocyclic Sialic Acid Analogs with Potent Anti-Influenza Activity
AUTHOR(S): Kim, Choung U.; Lew, Willard; Williams, Matthew A.; Zhang, Lijun; Liu, Hongtao; Swaminathan, S.; Bischofberger, Norbert; Chen, Ming S.; Tai, Chun Y.; Mendel, Dirk B.; Laver, W. Graeme; Stevens, Raymond C.
CORPORATE SOURCE: Gilead Sciences Inc., Foster City, CA, 94404, USA
SOURCE: J. Am. Chem. Soc. (1997), 119(4), 681-690
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



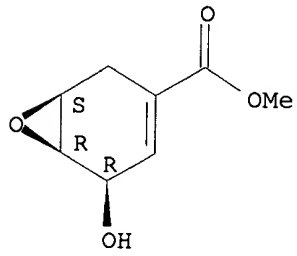
I

AB The design, synthesis, and in vitro evaluation of the novel carbocycles as transition-state-based inhibitors of influenza **neuraminidase** (NA) are described. The double bond position in the carbocyclic analogs plays an important role in NA inhibition as demonstrated by the antiviral activity of 8 (IC50 = 6.3 .mu.M) vs 9 (IC50 > 200 .mu.M). Structure-activity studies of a series of carbocyclic analogs, e.g. I (R = H, Me, Et, Pr, Bu), identified the 3-pentyloxy moiety as an apparent optimal group at the C3 position with an IC50 value of 1 nM for NA inhibition. The X-ray crystallog. structure of 6h bound to NA revealed the presence of a large hydrophobic pocket in the region corresponding to the glycerol subsite of sialic acid. The high antiviral potency obsd. for 6h appears to be attributed to a highly favorable hydrophobic interaction in this pocket. The practical prepn. of I starting from (-)-quinic acid is also described.

IT **76985-84-7P 187226-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of carbocyclic sialic acid analogs with potent influenza activity)

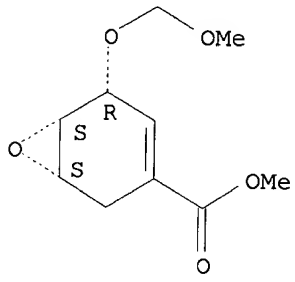
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester,
(1S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 187226-87-5 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, methyl ester, (1S,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:637103 CAPLUS
DOCUMENT NUMBER: 125:300503
TITLE: Preparation of selective inhibitors of viral or bacterial **neuraminidases**
INVENTOR(S): Bischofberger, Norbert W.; Kim, Choung U.; Lew, Willard; Liu, Hongtao; Williams, Matthew A.
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 345 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626933	A1	19960906	WO 1996-US2882	19960226
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5866601	A	19990202	US 1995-476946	19950606
AU 9653571	A1	19960918	AU 1996-53571	19960226
AU 720933	B2	20000615		

EP 759917 B1 20000412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

BR 9607098	A	19971104	BR 1996-7098		19960226
JP 11501908	T2	19990216	JP 1996-526442		19960226
AT 191711	E	20000415	AT 1996-912404		19960226
TW 426663	B	20010321	TW 1996-85107487		19960621
NO 9703908	A	19971027	NO 1997-3908		19970826

PRIORITY APPLN. INFO.:

US 1995-395245	A	19950227
US 1995-476946	A	19950606
US 1995-580567	A	19951229
US 1996-12299	P	19960226
US 1996-606624	A	19960226
WO 1996-US2882	W	19960226
US 1996-653034	A	19960524

OTHER SOURCE(S): MARPAT 125:300503

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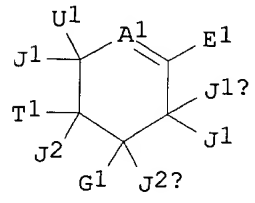

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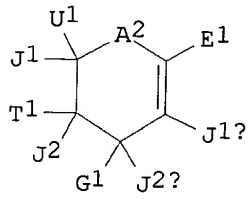

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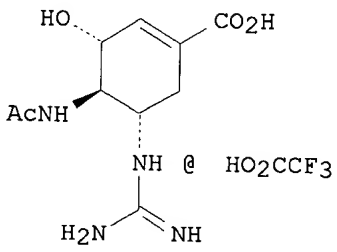


III

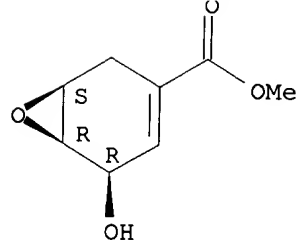
AB The title compds. [I, II; A1 = (un)substituted CH, N; A2 = (un)substituted CH2, (un)substituted NH, N(O), S, SO, SO2, O; E1 = terminal-(un)substituted alkyl; G1 = N3, CN, OH, NO2, alkoxy, etc.; T1 = (un)substituted NH2, heterocyclyl; J1, J1a = H, alkyl, halogen, CN, NO2, N3, etc.; U1 = H, (un)substituted SO3H, etc.; J2, J2a = H, alkyl] (e.g., III; IC50 <1.0 .mu.M), useful as selective inhibitors of viral or bacterial **neuraminidases**, are prepd.

IT **76985-85-8P 182367-90-4P 182368-11-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of selective inhibitors of viral or bacterial **neuraminidases**)

RN 76985-85-8 CAPLUS

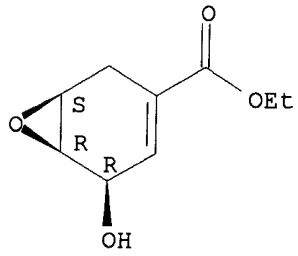
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, methyl ester, (1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



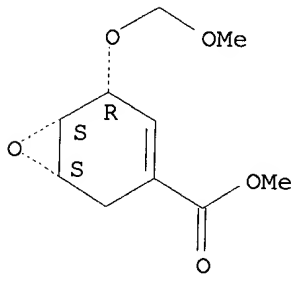
RN 182367-90-4 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-hydroxy-, ethyl ester, (1R,5S,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 182368-11-2 CAPLUS
CN 7-Oxabicyclo[4.1.0]hept-3-ene-3-carboxylic acid, 5-(methoxymethoxy)-, methyl ester, (1.alpha.,5.beta.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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